1/14860

U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM

Requestor's Name: Edward Ward Serial Number: 10/620,407

Date: Feb. 22, 2004 Phone: 571-272-0586 Art Unit: 1654

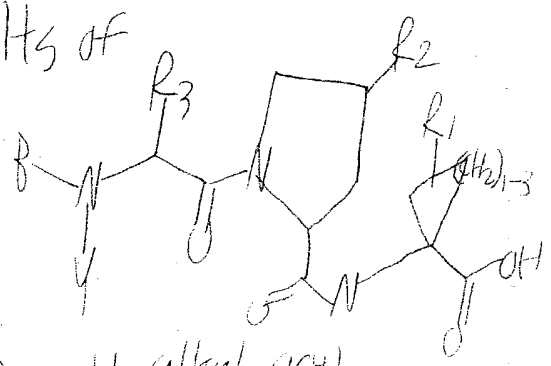
3D14 3D11

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).

1181'

Salts of



B is H, alkyl, aryl

Hal, or alkyl-H, R4E, R4OE

R4 is alkyl

as antiviral agents

in a self-emulsifying formulation

R3 is alkyl

R2 is Quinolinyl-X-Y Y is H or alkyl X is CH2, NH, O, or S

R1 is H or alkyl

STAFF USE ONLY

Date completed: 2/26/04

Searcher: [illegible]

Terminal time: _____

Elapsed time: _____

CPU time: _____

Total time: _____

Number of Searches: _____

Number of Databases: _____

Search Site

_____ STIC

_____ CM-1

_____ Pre-S

Type of Search

_____ N.A. Sequence

_____ A.A. Sequence

_____ Structure

_____ Bibliographic

Vendors

_____ IG

_____ STN

_____ Dialog

_____ APS

_____ Geninfo

_____ SDC

_____ DARC/Questel

_____ Other

PTO-1590 (9-90)

STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 114860

TO: Edward Ward **Location: REM/3D14/3D11** **Art Unit: 1654** **February 25, 2004** **Case Serial Number: 10/620408**	**From: P. Sheppard** **Location: Remsen Building** **Phone: (571) 272-2529** **sheppard@uspto.gov**

Search Notes

10/620,408

=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 07:43:15 ON 26 FEB 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 26 Feb 2004 VOL 140 ISS 9
FILE LAST UPDATED: 25 Feb 2004 (20040225/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

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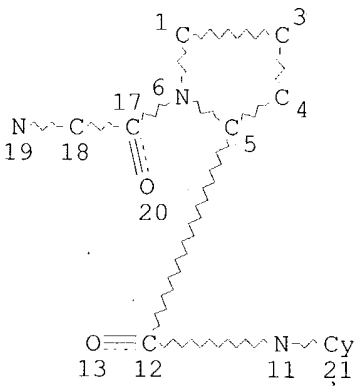
L11 STR



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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE
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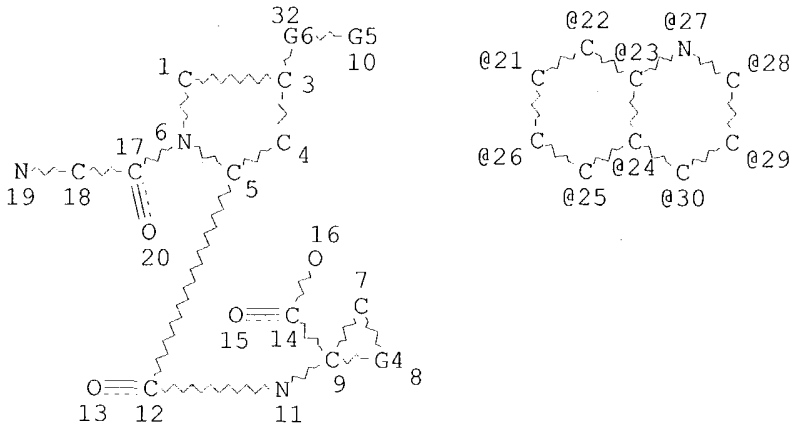
L14 STR



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VAR G5=21/22/27/28/29/30/25/26/23/24
REP G6=(0-1) A
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 30

STEREO ATTRIBUTES: NONE
L15 341 SEA FILE=REGISTRY SUB=L13 SSS FUL L14
L16 19 SEA FILE=HCAPLUS ABB=ON PLU=ON L15

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L16 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:960534 HCAPLUS
TITLE: NMR Structural Characterization of Peptide Inhibitors Bound to the Hepatitis C Virus NS3 Protease: Design of a New P2 Substituent
AUTHOR(S): Goudreau, Nathalie; Cameron, Dale R.; Bonneau, Pierre; Gorys, Vida; Plouffe, Celine; Poirier, Martin; Lamarre, Daniel; Llinas-Brunet, Montse
CORPORATE SOURCE: Departments of Chemistry and Biological Sciences, Research & Development, Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S 2G5, Can.
SOURCE: Journal of Medicinal Chemistry (2004), 47(1), 123-132 CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A comparative NMR conformational anal. of three distinct tetrapeptide inhibitors of the Hepatitis C NS3 protease that differ at the 4-aryloxy-substituted P2 proline position was undertaken. Specifically, transferred nuclear Overhauser effect expts. in combination with restrained systematic conformational searches were used to characterize the orientation of the P2 aryl substituents of these inhibitors when bound to the NS3 protease. Differences between free and bound conformations were also investigated. Anal. of the results allowed the design of a new

P2 arom. substituent, which significantly increased the potency of our inhibitors. The bound conformation of a specific competitive inhibitor having this novel P2 substituent is also described, along with a model of this inhibitor bound to the NS3 protease. This NS3 protease/inhibitor complex model also supports a hypothetical stabilization role for the P2 residue of the substrates and/or inhibitors and further elucidates the subtle details of the binding of the P2 residue of substrate-based inhibitors.

IT **357293-12-0P 652160-87-7P 652160-88-8P**
652160-90-2P 652160-91-3P
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(design of improved P2 substituent in peptide inhibitor of Hepatitis C virus NS3 protease)

IT **357293-13-1**
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(of tetrapeptide inhibitors of Hepatitis C NS3 protease)

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:950866 HCAPLUS
DOCUMENT NUMBER: 140:16976
TITLE: Preparation of peptide heterocyclic sulfonamide derivatives as hepatitis C virus inhibitors
INVENTOR(S): Campbell, Jeffrey Allen; Good, Andrew Charles
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099316	A1	20031204	WO 2003-US15786	20030520

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-382104P P 20020520
OTHER SOURCE(S): MARPAT 140:16976
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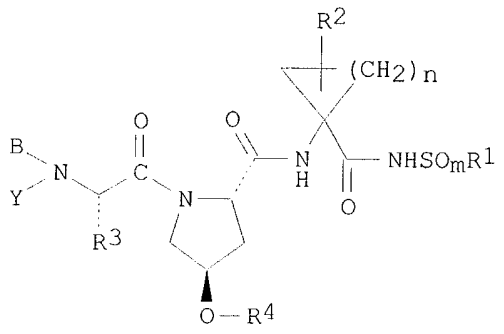

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AB The invention relates to tripeptide compds. I [R1 = (un)substituted heterocyclyl; m, n = 1 or 2; R2 = H, (halo)alk(en)yl, (halo)cycloalkyl, or together with the carbon atom to which it is attached forms a ring; R3 = (un)substituted alkyl or together with the carbon atom to which it is attached forms cycloalkyl optionally substituted by alkenyl; R4 = 7-methoxy-2-phenyl-4-quinolinyl; Y = H, (nitro)phenyl, (nitro)pyridyl, alkyl optionally substituted with cyano, OH, or cycloalkyl; B = H, alkyl, acyl, (thio)carbamoyl, sulfonyl, or sulfamoyl groups] or their pharmaceutically-acceptable salts for the treatment of hepatitis C virus (HCV) infection. Thus, I [R1 = 2-thienyl, m = 2, n = 1, R2 = vinyl, R3 = tert-Bu, B = H, Y = tert-butoxycarbonyl; stereochem. of 2-vinyl-substituted cyclopropane ring is (1R,2S)] was prepd. by a multistep procedure and assayed for inhibition of HCV NS3/4A protease (IC50 and EC50 < 0.1 .mu.M).

IT **259216-88-1P 445305-90-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of peptide heterocyclic sulfonamide derivs. as hepatitis C virus inhibitors)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:950834 HCAPLUS
DOCUMENT NUMBER: 140:16975
TITLE: Preparation of peptides as hepatitis C virus inhibitors
INVENTOR(S): Wang, Xiangdong Alan; Sun, Li-Quang; Sit, Sing-Yuen; Sin, Ny; Scola, Paul Michael; Hewawasam, Piyasena; Good, Andrew Charles; Chen, Yan; Campbell, Jeffrey Allen
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 675 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099274	A1	20031204	WO 2003-US15755	20030520

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,

TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-382055P P 20020520
OTHER SOURCE(S): MARPAT 140:16975
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Peptides I [R1 = alkyl, cycloalkyl, alkylcycloalkyl; m, n = 1 or 2; R2 = H, (halo)alk(en)yl, (halo)cycloalkyl; R3 = (un)substituted alkyl or together with the carbon atom to which it is attached forms cycloalkyl optionally substituted by alkenyl; R4 = (un)substituted (hetero)aryl; X = O, S, SO, SO2, OCH2, CH2O, NH; Y = H, (nitro)pyridyl, (nitro)phenyl, alkyl optionally substituted with cyano, OH, or cycloalkyl; B = H, alkyl, acyl, (thio)carbamoyl, sulfonyl, or sulfamoyl groups (with provisos)] or their pharmaceutically-acceptable salts or prodrugs were prepd. for the treatment of hepatitis C virus (HCV) infection. Thus, compd. II (Boc = tert-butoxycarbonyl) was prepd. by a multistep procedure and assayed for inhibition of HCV NS3/4A protease (IC50 and EC50 < 0.1 .mu.M).

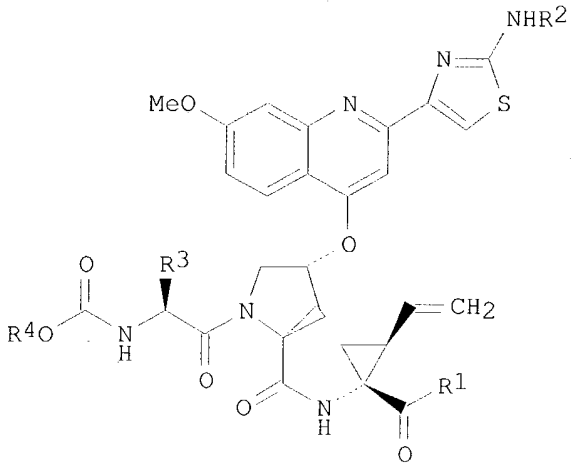
IT **259215-52-6P 259215-54-8P 259216-01-8P**
630424-25-8P 630424-29-2P 630424-30-5P
630424-31-6P 630424-37-2P 630424-38-3P
630424-40-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of peptides as hepatitis C virus inhibitors)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:777398 HCAPLUS
DOCUMENT NUMBER: 139:246223
TITLE: Preparation of tripeptides having a hydroxyproline ether of a substituted quinoline for the inhibition of hepatitis C virus (HCV) NS3 protease
INVENTOR(S): Llinas-Brunet, Montse; Gorys, Vida J.
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany
SOURCE: U.S. Pat. Appl. Publ., 31 pp., Cont.-in-part of U.S. Ser. No. 321,218, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003187018	A1	20031002	US 2003-353589	20030129
US 6642204	B2	20031104		

PRIORITY APPLN. INFO.: CA 2002-2370396 A 20020201
US 2002-321218 B2 20021217
OTHER SOURCE(S): MARPAT 139:246223
GI



I

AB Tripeptides I [R1 is OH or NHSO2R1A, where R1A is (cyclo)alkyl, alkylcycloalkyl, or aryl which are optionally substituted from 1 to 3 times with halo, cyano, nitro, alkoxy, amido, etc.; R2, R4 are cycloalkyl; R3 is t-Bu or cycloalkyl] or their pharmaceutically-acceptable salts were prepd. as inhibitors of HCV NS3 protease. Thus, I (R1 = OH, R2, R4 = cyclopentyl, R3 = t-Bu) was prepd. and shown to have IC50 < 0.1 .mu.M in the NS3-NS4A protease assay and EC50 < 0.5 .mu.M in the cell-based HCV RNA replication assay.

IT **572924-90-4P 572925-03-2P 572925-04-3P 572925-05-4P 572925-06-5P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of tripeptides having hydroxyproline ether of substituted quinoline for inhibition of HCV NS3 protease)

IT **572924-91-5P 572924-92-6P 572924-93-7P 572924-94-8P 572924-95-9P 572924-97-1P 572924-98-2P 572924-99-3P 572925-00-9P 572925-01-0P 572925-02-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tripeptides having hydroxyproline ether of substituted quinoline for inhibition of HCV NS3 protease)

IT **572924-78-8P 572924-79-9P 572924-80-2P 572924-81-3P 572924-82-4P 572924-84-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of tripeptides having hydroxyproline ether of substituted quinoline for inhibition of HCV NS3 protease)

L16 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:777373 HCAPLUS
DOCUMENT NUMBER: 139:246222
TITLE: Preparation of heterocyclic tripeptides as hepatitis C inhibitors
INVENTOR(S): Llinas-Brunet, Montse; Bailey, Murray D.; Ghiro, Elise
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany
SOURCE: U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U.S. Ser. No. 320,979.
CODEN: USXXCO
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003186895	A1	20031002	US 2003-353563	20030129
US 2003191067	A1	20031009	US 2002-320979	20021217

PRIORITY APPLN. INFO.: CA 2002-2369970 A 20020201
US 2002-320979 A2 20021217
OTHER SOURCE(S): MARPAT 139:246222
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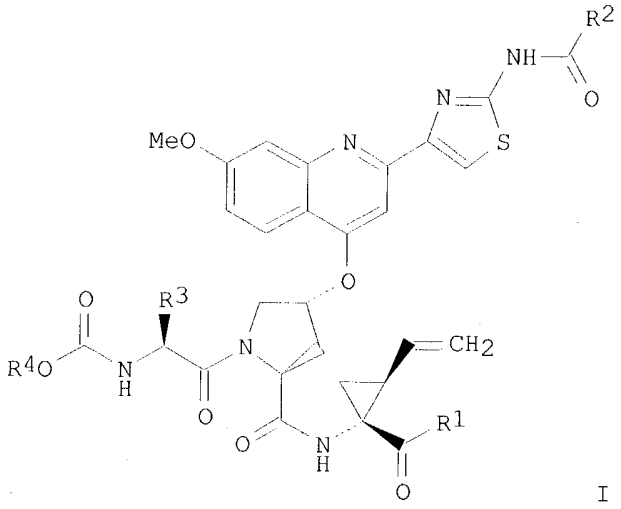


I

AB Tripeptides I [R1 is OH or NHSO2R1A, where R1A is (cyclo)alkyl, alkylcycloalkyl, or aryl which are optionally substituted from 1 to 3 times with halo, cyano, nitro, alkoxy, amido, etc.; R2 is t-Bu, t-BuCH2, or cyclopentylmethyl; R3 is t-Bu or cyclohexyl; R4 is cyclobutyl, cyclopentyl, or cyclohexyl] or their pharmaceutically-acceptable salts were prepd. as inhibitors of the hepatitis C virus NS3 protease. Thus, I (R1 = OH, R2 = t-BuCH2, R3 = t-Bu, R4 = cyclopentyl) was prepd. and shown to have IC50 < 0.1 .mu.M in the NS3-NS4A protease assay and EC50 < 0.5 .mu.M in the cell-based HCV RNA replication assay.

IT **572924-07-3P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of heterocyclic tripeptides as hepatitis C inhibitors)

IT **572924-08-4P 572924-12-0P 572924-14-2P**
572924-16-4P 572924-18-6P 572924-21-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic tripeptides as hepatitis C inhibitors)

IT **572924-09-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of heterocyclic tripeptides as hepatitis C inhibitors)

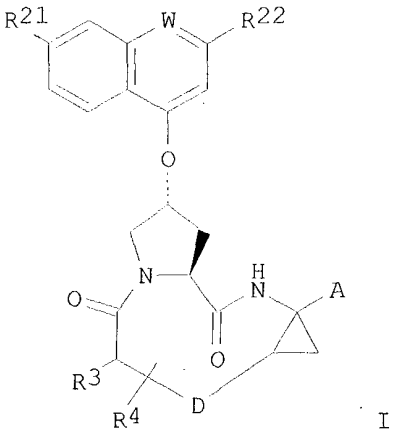
IT **572924-06-2P 572924-10-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of heterocyclic tripeptides as hepatitis C inhibitors)

L16 ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:648255 HCAPLUS
DOCUMENT NUMBER: 139:197768
TITLE: Preparation of macrocyclic peptides active against the hepatitis C virus
INVENTOR(S): Tsantrizos, Youla S.; Cameron, Dale R.; Faucher, Anne-Marie; Ghiro, Elise; Goudreau, Nathalie; Halmos, Teddy; Llinas-Brunet, Montse
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: U.S., 90 pp., Cont.-in-part of U.S. Ser. No. 542,675, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6608027	B1	20030819	US 2001-760946	20010116
US 2004002448	A1	20040101	US 2003-358726	20030205

PRIORITY APPLN. INFO.: US 1999-128011P P 19990406
US 2000-542675 B2 20000403
US 2001-760946 A1 20010116
OTHER SOURCE(S): MARPAT 139:197768
GI



I

AB Macrocyclic peptides I [W = CH or N; R21 = H, halo, alkyl, cycloalkyl, haloalkyl, alkoxy, cycloalkoxy, hydroxy, or an amino group; R22 = H, halo, alkyl, cycloalkyl, haloalkyl, thioalkyl, alkoxy, cycloalkoxy, alkoxyalkyl, cycloalkyl, aryl or heteroaryl; R3 = hydroxy, NH2, aryl- or heteroarylamino, NHCOR32, CONHR32, CO2R32, where R32 is alkyl or cycloalkyl; D is a 5 to 10-atom satd. or unsatd. alkylene chain optionally contg. one to three heteroatoms independently selected from: O, S, or NH or substituted imino; R4 = H or from one to three substituents at any carbon atom of chain D; A is an amide or carboxylic acid group or a pharmaceutically acceptable salt or ester; two diastereomers may exist at the cyclopropane moiety] were prepd. which are active in-vitro and in cellular assays against the NS3 protease of the hepatitis C virus. Thus, macrocyclic peptide I [W = N; R21, R22, R4 = H; A = CO2H; R3CH-D = (S)-(Me3CO2CNH)CH(CH2)3CH:CH(CH2)2-E (syn to acid)] was prepd. and showed

IC50 > 0.1 .mu.M in the full-length NS3-NS4A heterodimer protein fluorogenic assay.

IT **300831-47-4 300831-62-3 300831-65-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of macrocyclic peptides active against the hepatitis C virus)

IT **300831-44-1P 300831-51-0P 300831-52-1P**
300831-53-2P 300831-59-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of macrocyclic peptides active against the hepatitis C virus)

REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:610479 HCAPLUS
DOCUMENT NUMBER: 139:164980
TITLE: Preparation of tripeptides having a hydroxyproline ether of a substituted quinoline for the inhibition of NS3 (hepatitis C)
INVENTOR(S): Llinas-Brunet, Montse; Gorys, Vida J.
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064456	A1	20030807	WO 2003-CA90	20030124

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: CA 2002-2370396 A 20020201
OTHER SOURCE(S): MARPAT 139:164980
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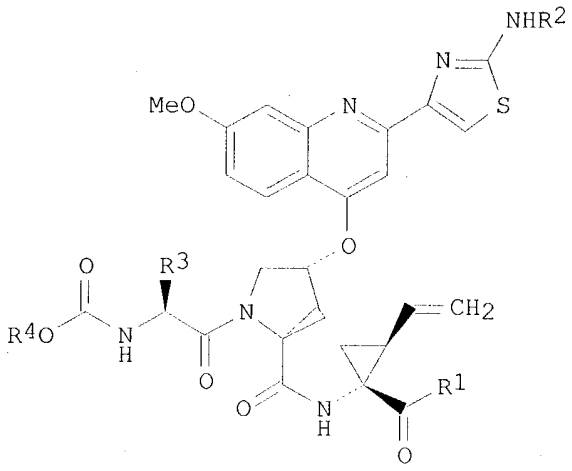


I

AB Tripeptides I [R1 is OH or NHSO2R1A, where R1A is (cyclo)alkyl, alkylcycloalkyl, or aryl which are optionally substituted from 1 to 3 times with halo, cyano, nitro, alkoxy, amido, etc.; R2, R4 are cycloalkyl; R3 is t-Bu or cycloalkyl] or their pharmaceutically-acceptable salts were prepd. as inhibitors of HCV NS3 protease for the treatment of hepatitis C. Thus, I (R1 = OH, R2, R4 = cyclopentyl, R3 = t-Bu) was prepd. and shown to have IC50 < 0.1 .mu.M in the NS3-NS4A protease assay and EC50 < 0.5 .mu.M in the cell-based HCV RNA replication assay.

IT **572924-90-4P 572925-03-2P 572925-04-3P**
572925-05-4P 572925-06-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of tripeptides having a hydroxyproline ether of a substituted quinoline for the inhibition of NS3 (hepatitis C))

IT **572924-91-5P 572924-92-6P 572924-93-7P**
572924-94-8P 572924-95-9P 572924-97-1P
572924-98-2P 572924-99-3P 572925-00-9P
572925-01-0P 572925-02-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tripeptides having a hydroxyproline ether of a substituted quinoline for the inhibition of NS3 (hepatitis C))

IT **572924-78-8P 572924-79-9P 572924-80-2P**
572924-81-3P 572924-82-4P 572924-84-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of tripeptides having a hydroxyproline ether of a substituted quinoline for the inhibition of NS3 (hepatitis C))

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:610444 HCAPLUS
DOCUMENT NUMBER: 139:164978
TITLE: Preparation of heterocyclic tripeptides as hepatitis C inhibitors
INVENTOR(S): Llinas-Brunet, Montse; Bailey, Murray D.; Ghiro, Elise
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2

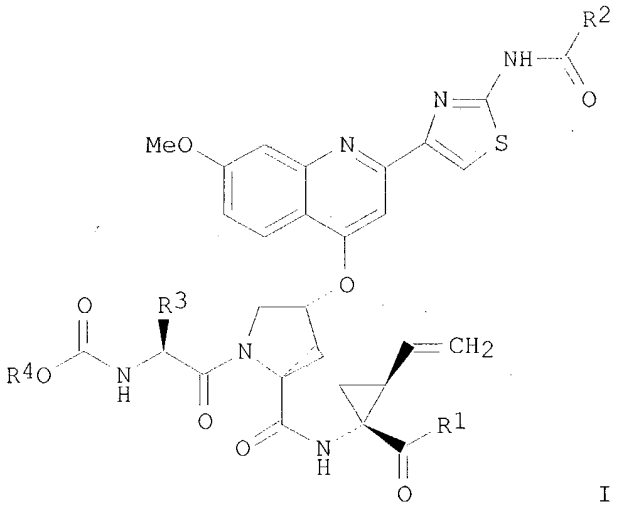
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064416	A1	20030807	WO 2003-CA91	20030124

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: CA 2002-2369970 A 20020201
OTHER SOURCE(S): MARPAT 139:164978
GI



I

AB Tripeptides I [R1 is OH or NHSO2R1A, where R1A is (cyclo)alkyl, alkylcycloalkyl, or aryl which are optionally substituted from 1 to 3 times with halo, cyano, nitro, alkoxy, amido, etc.; R2 is t-Bu, t-BuCH2, or cyclopentylmethyl; R3 is t-Bu or cyclohexyl; R4 is cyclobutyl, cyclopentyl, or cyclohexyl] or their pharmaceutically-acceptable salts were prepd. as inhibitors of the hepatitis C virus NS3 protease. Thus, I (R1 = OH, R2 = t-BuCH2, R3 = t-Bu, R4 = cyclopentyl) was prepd. and shown to have IC50 < 0.1 .mu.M in the NS3-NS4A protease assay and EC50 < 0.5 .mu.M in the cell-based HCV RNA replication assay.

IT **572924-07-3P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of heterocyclic tripeptides as hepatitis C inhibitors)

IT **572924-08-4P 572924-12-0P 572924-14-2P**
572924-16-4P 572924-18-6P 572924-21-1P

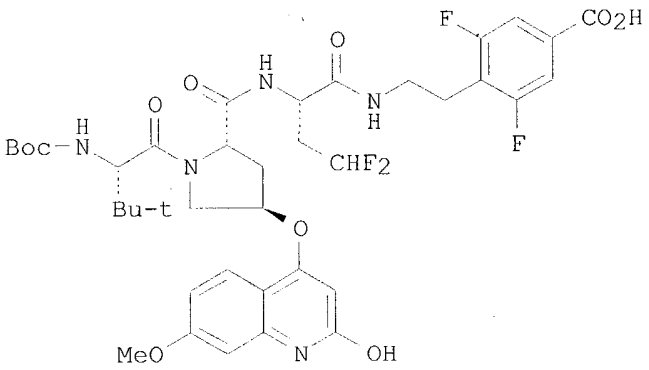
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic tripeptides as hepatitis C inhibitors)

IT **572924-09-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of heterocyclic tripeptides as hepatitis C inhibitors)

IT **572924-06-2P 572924-10-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclic tripeptides as hepatitis C inhibitors)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 9 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:535070 HCAPLUS
DOCUMENT NUMBER: 139:292471
TITLE: Novel, potent phenethylamide inhibitors of the hepatitis C virus (HCV) NS3 protease: probing the role of P2 aryloxyprolines with hybrid structures
AUTHOR(S): Orvieto, Federica; Koch, Uwe; Matassa, Victor G.; Muraglia, Ester
CORPORATE SOURCE: Medicinal Chemistry Department, IRBM-MRL Rome, Rome, 00040, Italy
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(16), 2745-2748
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Synthesis of hybrid HCV NS3 protease/NS4A inhibitors having the 4,4-difluoroaminobutyric acid (difluoroAbu) phenethylamides as P1-P1' and quinolyloxyprolines as P2 fragments led to I (Boc = tert-butoxycarbonyl) (IC50 54 nM). Mol. modeling suggests that this potent tripeptide inhibitor utilizes interactions in the S1', S1, S2, S3 and S4 sites of the protease.

IT **259215-38-8 607403-39-4**
RL: BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(prepn. and structure-protease-inhibiting activity relationship of phenethylamide peptidomimetics)

IT **259216-88-1P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and structure-protease-inhibiting activity relationship of phenethylamide peptidomimetics)
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 10 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:511084 HCAPLUS
DOCUMENT NUMBER: 139:69527
TITLE: Preparation of macrocyclic compounds as inhibitors of hepatitis C virus
INVENTOR(S): Campbell, Jeffrey Allen; Good, Andrew Charles
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 225 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053349	A2	20030703	WO 2002-US39926	20021213
WO 2003053349	A3	20040115		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-344080P P 20011220
US 2002-382103P P 20020520
OTHER SOURCE(S): MARPAT 139:69527
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to macrocyclic compds. I [R1 = (cyclo)alkyl; R2 = H, halo, alkyl, alkoxy, cycloalkoxy, (un)substituted aryl or heterocyclyl; R3 = H, halo, CF3, alkoxy, cycloalkoxy; R4 = NH2 or NHR6, where R6 is alkanoyl, alkylaminocarbonyl, or carbalkoxy; Q is a 3-9 atom (un)satd. alkylene chain optionally contg. 1-3 heteroatoms O, S, SO, or SO2], including methods for their synthesis and use in pharmaceutical compns. for therapeutic or prophylactic prevention or treatment of hepatitis C virus (HCV) infection. Thus, 3,13-diazatricyclo[11.3.0.04,6]hexadec-7-ene deriv. II was prepd. by a multistep procedure and assayed for inhibition of HCV NS3/4A protease (IC50 < 5 .mu.M).
IT **300831-62-3P 552335-25-8P 552335-28-1P 552335-31-6P**
RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of macrocyclic compds. as inhibitors of hepatitis C virus)
IT **552335-48-5P 552335-52-1P 552335-56-5P 552335-61-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(prepn. of macrocyclic compds. as inhibitors of hepatitis C virus)

L16 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:403023 HCAPLUS
DOCUMENT NUMBER: 139:173244
TITLE: An NS3 Serine Protease Inhibitor Abrogates Replication of Subgenomic Hepatitis C Virus RNA
AUTHOR(S): Pause, Arnim; Kukolj, George; Bailey, Murray; Brault, Martine; Do, Florence; Halmos, Ted; Lagace, Lisette; Maurice, Roger; Marquis, Martin; McKercher, Ginette; Pellerin, Charles; Pilote, Louise; Thibeault, Diane; Lamarre, Daniel
CORPORATE SOURCE: Department of Biological Sciences, Boehringer Ingelheim (Canada) Ltd., Research and Development, Laval, QC, H7S 2G5, Can.
SOURCE: Journal of Biological Chemistry (2003), 278(22), 20374-20380
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The hepatitis C virus (HCV) NS3 protease is essential for polyprotein maturation and viral propagation, and it has been proposed as a suitable target for antiviral drug discovery. An N-terminal hexapeptide cleavage product of a dodecapeptide substrate identified as a weak competitive inhibitor of the NS3 protease activity was optimized to a potent and highly specific inhibitor of the enzyme. The effect of this potent NS3 protease inhibitor was evaluated on replication of subgenomic HCV RNA and compared with interferon-.alpha. (IFN-.alpha.), which is currently used in the treatment of HCV-infected patients. Treatment of replicon-contg. cells with the NS3 protease inhibitor or IFN-.alpha. showed a dose-dependent decrease in subgenomic HCV RNA that reached undetectable levels following a 14-day treatment. Kinetic studies in the presence of either NS3 protease inhibitor or IFN-.alpha. also revealed similar profiles in HCV RNA decay with half-lives of 11 and 14 h, resp. The finding that an antiviral specifically targeting the NS3 protease activity inhibits HCV RNA replication further validates the NS3 enzyme as a prime target for drug discovery and supports the development of NS3 protease inhibitors as a novel therapeutic approach for HCV infection.
IT **579472-70-1**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NS3 serine protease inhibitor abrogates replication of subgenomic hepatitis C virus RNA)
REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 12 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:338309 HCAPLUS
DOCUMENT NUMBER: 139:143358
TITLE: Macrocyclic inhibitors of the NS3 protease as potential therapeutic agents of hepatitis C virus infection
AUTHOR(S): Tsantrizos, Youla S.; Bolger, Gordon; Bonneau, Pierre; Cameron, Dale R.; Goudreau, Nathalie; Kukolj, George; LaPlante, Steven R.; Llinas-Brunet, Montse; Nar, Herbert; Lamarre, Daniel
CORPORATE SOURCE: Departments of Chemistry and Biological Sciences Research and Development, Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S 2G5, Can.
SOURCE: Angewandte Chemie, International Edition (2003),

42(12), 1356-1360
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A novel class of selective inhibitors of the hepatitis C virus NS3 protease, an enzyme which is essential for viral replication in vivo, was developed. The inhibitors are based on the structure-activity relationship between a substrate-based peptidomimetic ligand and the HCV NS3 serine protease. The designed HCV inhibitor and its satd. analogs are the first inhibitors of the NS3 protease which inhibit HCV RNA replication in the cell-based replicon assay. In addn., they are orally absorbed and stable to metabolic breakdown. Thus, these compds. show many of the desirable properties of a druglike archetype and could lead t a clin. useful antiviral agent for the treatment of hepatitis C viral infections in humans.

IT **357293-16-4P 572918-58-2P 572918-60-6P**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(macrocyclic inhibitors of the NS3 protease as potential therapeutic agents of hepatitis C virus infection)

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 13 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:199010 HCAPLUS
DOCUMENT NUMBER: 139:223763
TITLE: In vitro selection and characterization of hepatitis C virus serine protease variants resistant to an active-site peptide inhibitor
AUTHOR(S): Trozzi, Caterina; Bartholomew, Linda; Ceccacci, Alessandra; Biasiol, Gabriella; Pacini, Laura; Altamura, Sergio; Narjes, Frank; Muraglia, Ester; Paonessa, Giacomo; Koch, Uwe; De Francesco, Raffaele; Steinkuhler, Christian; Migliaccio, Giovanni
CORPORATE SOURCE: IRBM "P. Angeletti,", Rome, 00040, Italy
SOURCE: Journal of Virology (2003), 77(6), 3669-3679
CODEN: JOVIAM; ISSN: 0022-538X
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The hepatitis C virus (HCV) serine protease is necessary for viral replication and represents a valid target for developing new therapies for HCV infection. Potent and selective inhibitors of this enzyme have been identified and shown to inhibit HCV replication in tissue culture. The optimization of these inhibitors for clin. development would greatly benefit from in vitro systems for the identification and the study of resistant variants. We report the use HCV subgenomic replicons to isolate and characterize mutants resistant to a protease inhibitor. Taking advantage of the replicons' ability to transduce resistance to neomycin, we selected replicons with decreased sensitivity to the inhibitor by culturing the host cells in the presence of the inhibitor and neomycin. The selected replicons replicated to the same extent as those in parental cells. Sequence anal. followed by transfection of replicons contg. isolated mutations revealed that resistance was mediated by amino acid substitutions in the protease. These results were confirmed by in vitro expts. with mutant enzymes and by modeling the inhibitor in the three-dimensional structure of the protease.

IT **259215-49-1**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in vitro selection and characterization of hepatitis C virus serine

protease variants resistant to an active-site peptide inhibitor using HCV subgenomic replicons)

IT **259215-49-1D**, complex with NS3-4A active site
RL: PRP (Properties)
(model of tripeptide inhibitor in NS3-4A protease active site; in vitro selection and characterization of hepatitis C virus serine protease variants resistant to an active-site peptide inhibitor using HCV subgenomic replicons)

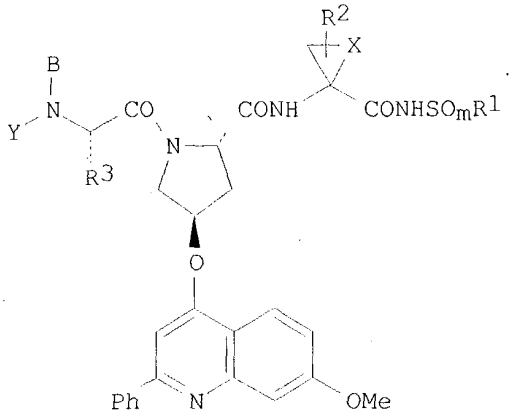
REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 14 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:594872 HCAPLUS
DOCUMENT NUMBER: 137:155180
TITLE: Preparation of tripeptides as hepatitis C inhibitors
INVENTOR(S): Campbell, Jeffrey Allen; Good, Andrew
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 240 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060926	A2	20020808	WO 2001-US45145	20011120
WO 2002060926	A3	20030313		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002111313	A1	20020815	US 2001-1850	20011120
EP 1337550	A2	20030827	EP 2001-997024	20011120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT; IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-249968P P 20001120
WO 2001-US45145 W 20011120

OTHER SOURCE(S): MARPAT 137:155180
GI



I

AB Tripeptides I [R1 = (un)substituted alkyl, cycloalkyl, alkylcycloalkyl, or aryl; m = 1 or 2; X = CH2 or CH2CH2; R2 = H or (un)substituted alkyl, alkenyl, or cycloalkyl; R3 = alkyl, phenylalkyl, alkenyl, (un)substituted cycloalkyl or alkylcycloalkyl CR3 is a cycloalkyl group optionally substituted by alkenyl; Y = H, nitrophenyl, nitropyridyl, or alkyl optionally substituted by cyano, hydroxyl, or cycloalkyl; B = H, alkyl, acyl, carbamoyl, thiocarbamoyl, or a sulfonyl group] were prepd. for the treatment of hepatitis C virus (HCV) infection. Synthetic procedures and biol. test data are given for 141 tripeptides I. Compd. I (R1 = p-AcNHC6H4, m = 2, X = CH2, R2 = vinyl, R3 = tert-Bu, B = H, Y = tert-butoxycarbonyl) showed IC50 < 0.05 .mu.M for inhibition of HCV NS3/4A protease (BMS strain) and EC50 < 0.5 .mu.M in the HCV replicon cell-based assay.

IT **445305-97-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of tripeptides as hepatitis C inhibitors)

IT **259214-72-7P 259215-34-4P 259216-15-4P 259216-79-0P 259216-88-1P 445305-80-6P 445305-81-7P 445305-90-8P 445305-94-2P 445305-95-3P 445305-96-4P 445305-99-7P 445306-03-6P 445306-06-9P 445306-42-3P 445306-43-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of tripeptides as hepatitis C inhibitors)

L16 ANSWER 15 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:435622 HCAPLUS
DOCUMENT NUMBER: 135:195782
TITLE: Solid-Phase Synthesis of Peptidomimetic Inhibitors for the Hepatitis C Virus NS3 Protease
AUTHOR(S): Poupart, Marc-Andre; Cameron, Dale R.; Chabot, Catherine; Ghiro, Elise; Goudreau, Nathalie; Goulet, Sylvie; Poirier, Martin; Tsantrizos, Youla S.
CORPORATE SOURCE: Department of Chemistry, Boehringer Ingelheim (Canada) Ltd., QC, H7S 2G5, Can.
SOURCE: *Journal of Organic Chemistry* (2001), 66(14), 4743-4751
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:195782

AB The NS3 serine protease enzyme of the hepatitis C virus (HCV) is essential for viral replication. Short peptides mimicking the N-terminal substrate

cleavage products of the NS3 protease are known to act as weak inhibitors of the enzyme and have been used as templates for the design of peptidomimetic inhibitors. Automated solid-phase synthesis of a small library of compds. based on such a peptidomimetic scaffold has led to the identification of potent and highly selective inhibitors of the NS3 protease enzyme.

IT **357293-16-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(solid-phase synthesis of peptidomimetic inhibitors for the hepatitis C virus NS3 protease)

IT **357293-08-4P 357293-09-5P 357293-12-0P 357293-13-1P 357293-14-2P 357293-15-3P 357293-17-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(solid-phase synthesis of peptidomimetic inhibitors for the hepatitis C virus NS3 protease)

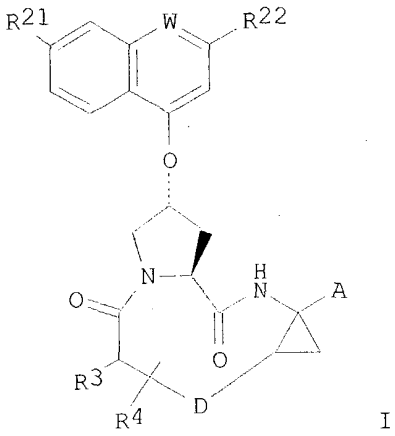
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 16 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:725652 HCAPLUS
DOCUMENT NUMBER: 133:296659
TITLE: Preparation of macrocyclic peptides active against the hepatitis C virus
INVENTOR(S): Tsantrizos, Youla S.; Cameron, Dale R.; Faucher, Anne-marie; Ghiro, Elise; Goudreau, Nathalie; Halmos, Teddy; Llinas-brunet, Montse
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 154 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059929	A1	20001012	WO 2000-CA353	20000403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1169339	A1	20020109	EP 2000-913999	20000403
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000009599	A	20020115	BR 2000-9599	20000403
EE 200100516	A	20021216	EE 2001-516	20000403
BG 105970	A	20020531	BG 2001-105970	20011002
HR 2001000720	A1	20021231	HR 2001-720	20011004
NO 2001004857	A	20011031	NO 2001-4857	20011005

PRIORITY APPLN. INFO.: US 1999-128011P P 19990406
WO 2000-CA353 W 20000403

OTHER SOURCE(S): MARPAT 133:296659
GI



I

AB Macrocyclic peptides I [W = CH or N; R21 = H, halo, alkyl, cycloalkyl, haloalkyl, alkoxy, cycloalkoxy, hydroxy, or an amino group; R22 = H, halo, alkyl, cycloalkyl, haloalkyl, thioalkyl, alkoxy, cycloalkoxy, alkoxyalkyl, cycloalkyl, aryl or heteroaryl; R3 = hydroxy, NH2, aryl- or heteroarylamino, NHCOR32, CONHR32, CO2R32, where R32 is alkyl or cycloalkyl; D is a 5 to 10-atom satd. or unsatd. alkylene chain optionally contg. one to three heteroatoms independently selected from: O, S, or NH or substituted imino; R4 = H or from one to three substituents at any carbon atom of chain D; A is an amide or carboxylic acid group or a pharmaceutically acceptable salt or ester; two diastereomers may exist at the cyclopropane moiety] were prepd. which are active in-vitro and in cellular assays against the NS3 protease of the hepatitis C virus . Thus, macrocyclic peptide I [W = N; R21, R22, R4 = H; A = CO2H; R3CH-D = (S)-(Me3CO2CNH)CH(CH2)3CH:CH(CH2)2-E (syn to acid)] was prepd. and showed IC50 > 0.1 .mu.M in the full-length NS3-NS4A heterodimer protein fluorogenic assay.

IT **300831-47-4 300831-62-3 300831-65-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of macrocyclic peptides active against the hepatitis C virus)

IT **300831-44-1P 300831-51-0P 300831-52-1P**
300831-53-2P 300831-59-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of macrocyclic peptides active against the hepatitis C virus)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 17 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:133728 HCAPLUS
DOCUMENT NUMBER: 132:175808
TITLE: Hepatitis C inhibitor peptides
INVENTOR(S): Llinas-Brunet, Montse; Bailey, Murray D.; Cameron, Dale; Ghiro, Elise; Goudreau, Nathalie; Poupart, Marc-Andre; Rancourt, Jean; Tsantrizos, Youla S.
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

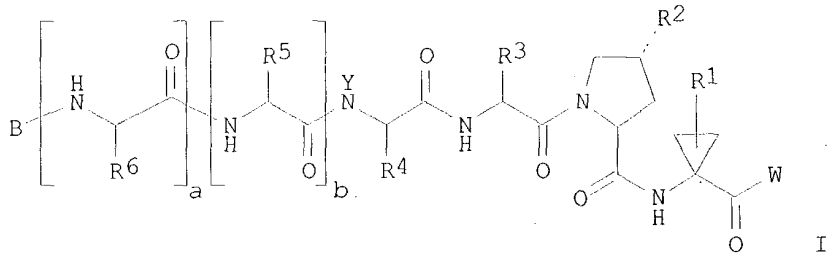
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009558	A1	20000224	WO 1999-CA737	19990809
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2336597	AA	20000224	CA 1999-2336597	19990809
AU 9952732	A1	20000306	AU 1999-52732	19990809
AU 764655	B2	20030828		
BR 9912943	A	20010508	BR 1999-12943	19990809
EP 1105422	A1	20010613	EP 1999-938085	19990809
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522557	T2	20020723	JP 2000-565004	19990809
EE 200100080	A	20020815	EE 2001-80	19990809
NO 2001000604	A	20010205	NO 2001-604	20010205
ZA 2001000972	A	20020718	ZA 2001-972	20010205
BG 105230	A	20011031	BG 2001-105230	20010208
HR 2001000101	A1	20020228	HR 2001-101	20010208

PRIORITY APPLN. INFO.: US 1998-95945P P 19980810
WO 1999-CA737 W 19990809

OTHER SOURCE(S): MARPAT 132:175808

GI



I

AB The invention provides peptides I (a, b = 0, 1; Y = H, C1-6 alkyl; B = H, acyl deriv., sulfonyl deriv.; W = OH, N-substituted amino), or a pharmaceutically acceptable salt or ester thereof, for use in the treatment of hepatitis C virus infection. Prepn. of peptides is included.

IT **259221-10-8P 259221-11-9P 259221-12-0P**
259221-17-5P 259221-18-6P 259221-19-7P
259221-20-0P 259221-21-1P 259221-22-2P
259221-23-3P 259221-24-4P 259221-25-5P
259221-26-6P 259221-27-7P 259221-28-8P
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259221-35-7P 259221-36-8P 259221-37-9P
259221-38-0P 259221-39-1P 259221-40-4P
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259221-63-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(hepatitis C inhibitor peptides and prepn. thereof)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 18 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:133714 HCAPLUS
DOCUMENT NUMBER: 132:180871
TITLE: Preparation of hepatitis C inhibitory tripeptides
INVENTOR(S): Llinas-Brunet, Montse; Bailey, Murray D.; Cameron, Dale; Faucher, Anne-Marie; Ghiro, Elise; Goudreau, Nathalie; Halmos, Teddy; Poupart, Marc-Andre; Rancourt, Jean; Tsantrizos, Youla S.; Wernic, Dominik M.; Simoneau, Bruno
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009543	A2	20000224	WO 1999-CA736	19990809
WO 2000009543	A3	20000525		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6323180	B1	20011127	US 1999-368866	19990805
CA 2338946	AA	20000224	CA 1999-2338946	19990809
AU 9952731	A1	20000306	AU 1999-52731	19990809
BR 9913646	A	20010605	BR 1999-13646	19990809
EP 1105413	A2	20010613	EP 1999-938084	19990809
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JP 2002522554	T2	20020723	JP 2000-564993	19990809
EE 200100081	A	20020815	EE 2001-81	19990809
US 6268207	B1	20010731	US 2000-660030	20000912
US 6329379	B1	20011211	US 2000-675398	20000929
US 6329417	B1	20011211	US 2000-703751	20001101
BG 105232	A	20011130	BG 2001-105232	20010208
HR 2001000102	A1	20020228	HR 2001-102	20010208
NO 2001000683	A	20010402	NO 2001-683	20010209
US 2002016442	A1	20020207	US 2001-827976	20010406
US 6420380	B2	20020716		
US 2002037998	A1	20020328	US 2001-849057	20010504
US 6410531	B2	20020625		
US 6534523	B1	20030318	US 2002-91293	20020305

PRIORITY APPLN. INFO.: US 1998-95931P P 19980810
US 1999-132386P P 19990504

US 1999-368866 A3 19990805
WO 1999-CA736 W 19990809
US 2001-849057 A1 20010504

OTHER SOURCE(S): MARPAT 132:180871
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Peptides I [B = H, (un)substituted aryl, aralkyl, heterocyclyl, or alkylheterocyclyl, acyl R4CO, carboxylate R4O2C, amide R4NR5CO, thioamide R4NR5C(S), or sulfonyl group R4SO2, where R4 = (un)substituted alkyl, cycloalkyl, cycloalkoxy, amino, aralkyl, or heterocyclyl, with proviso that R4 .noteq. cycloalkoxy for amides or thioamides; R5, Y = H, alkyl; R3 = (un)substituted alkyl, cycloalkyl, or alkylcycloalkyl; R2 = (un)substituted cycloalkyl-, aryl-, aralkyl-, or heterocyclylmethyl, -amino, -oxy, or -thio; R1 = H; alkyl, cycloalkyl, alkenyl, or alkynyl, all optionally substituted with halogen] or their racemates, diastereoisomers, and optical isomers were prepd. as hepatitis C virus (HCV) inhibitory tripeptides. Thus, compd. II was prepd. via peptide coupling reactions in soln. and showed IC50 < 0.5 .mu.M in the recombinant HCV NS3 protease/NS4A cofactor peptide radiometric assay.

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259217-00-0P 259217-01-1P 259217-02-2P
259217-03-3P 259217-04-4P 259217-05-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hepatitis C inhibitory tripeptides)

IT **259214-66-9P 259214-69-2P 259214-72-7P**
259214-82-9P 259214-83-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of hepatitis C inhibitory tripeptides)

L16 ANSWER 19 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:126924 HCAPLUS
DOCUMENT NUMBER: 130:168665
TITLE: Preparation of hepatitis C inhibitory peptides
INVENTOR(S): Llinas-Brunet, Montse; Poupart, Marc-Andre; Rancourt, Jean; Simoneau, Bruno; Tsantrizos, Youla; Wernic, Dominik
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907733	A2	19990218	WO 1998-CA765	19980810
WO 9907733	A3	19990520		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,

CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9887956	A1	19990301	AU 1998-87956	19980810
AU 757783	B2	20030306		
EP 1003775	A2	20000531	EP 1998-939450	19980810
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001512743	T2	20010828	JP 2000-506235	19980810
NZ 503262	A	20021025	NZ 1998-503262	19980810
MX 200001498	A	20001110	MX 2000-1498	20000211
PRIORITY APPLN. INFO.:			US 1997-55186P P	19970811
			WO 1998-CA765 W	19980810

OTHER SOURCE(S): MARPAT 130:168665

AB Peptides B[NHCHR6CO]a[NHCHR5CO]bQCHR4C(:Z)NHCHR3COWNHCR1R1'COA (when Q is CH2 and a and b are 0, B is an amide deriv. or when Q is NH or alkylimino and a and b are 0 or 1, B is an acyl deriv.; R6 = carboxyalkyl; R5 = alkyl or carboxyalkyl; R4 = alkyl, cycloalkyl, alkylcycloalkyl; Z = oxo or thioxo; R3 = alkyl, carboxyalkyl, cycloalkyl, alkylcycloalkyl; W is an amino acid residue such as proline; R1' = H and R1 = alkyl, mercapto- or haloalkyl or R1' and R1 together form a 3- to 6-membered ring; A is hydroxy or a pharmaceutically acceptable salt or ester) were prepd. as hepatitis C virus inhibitors. Thus, Ac-Asp-D-Glu-Chg-Val-X-Nva-OH [Chg = cyclohexlglycine, X = 4(R)-(2-naphthylmethoxy)proline, and Nva = norvaline residue], prepd. by step-wise couplings in soln., showed IC50 = 0.028 .mu.M in the NS3 protease/NS4A cofactor peptide radiometric assay.

IT **220425-88-7P 220425-89-8P 220425-94-5P**
220426-02-8P 220426-07-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hepatitis C inhibitory peptides)

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=> fil caold
FILE 'CAOLD' ENTERED AT 07:45:22 ON 26 FEB 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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=> s l15
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FILE 'REGISTRY' ENTERED AT 07:45:35 ON 26 FEB 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 FEB 2004 HIGHEST RN 654050-72-3
DICTIONARY FILE UPDATES: 24 FEB 2004 HIGHEST RN 654050-72-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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49	RN	572924-07-3	REGISTRY
50	RN	572924-06-2	REGISTRY
51	RN	572918-60-6	REGISTRY
52	RN	572918-58-2	REGISTRY
53	RN	552335-61-2	REGISTRY
54	RN	552335-56-5	REGISTRY
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56	RN	552335-48-5	REGISTRY
57	RN	552335-31-6	REGISTRY
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59	RN	552335-25-8	REGISTRY
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333	RN	259214-82-9	REGISTRY
334	RN	259214-72-7	REGISTRY
335	RN	259214-69-2	REGISTRY
336	RN	259214-66-9	REGISTRY
337	RN	220426-07-3	REGISTRY
338	RN	220426-02-8	REGISTRY
339	RN	220425-94-5	REGISTRY
340	RN	220425-89-8	REGISTRY
341	RN	220425-88-7	REGISTRY

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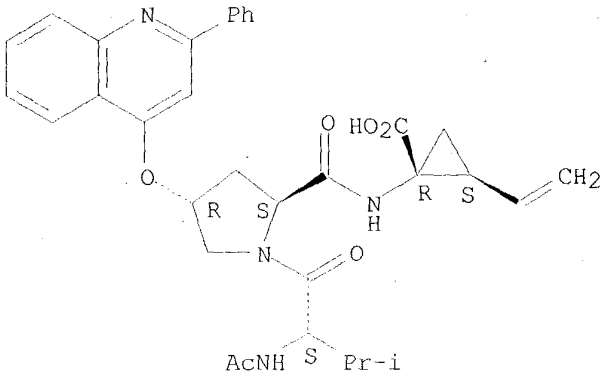
341

L15 ANSWER 1 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 652160-91-3 REGISTRY
CN INDEX NAME NOT YET ASSIGNED

FS STEREOSEARCH
MF C33 H36 N4 O6
SR CA
LC STN Files: CAPLUS

Absolute stereochemistry.

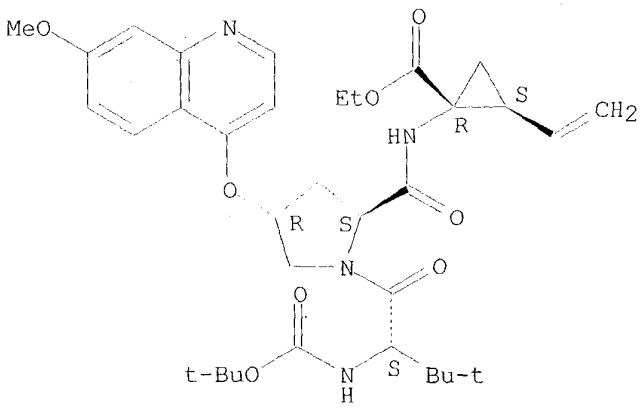


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L15 ANSWER 5 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 630424-40-7 REGISTRY
CN Cyclopropanecarboxylic acid, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[(7-methoxy-4-quinolinyl)oxy]-L-prolyl-1-amino-2-ethenyl-, ethyl ester, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C34 H46 N4 O8
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



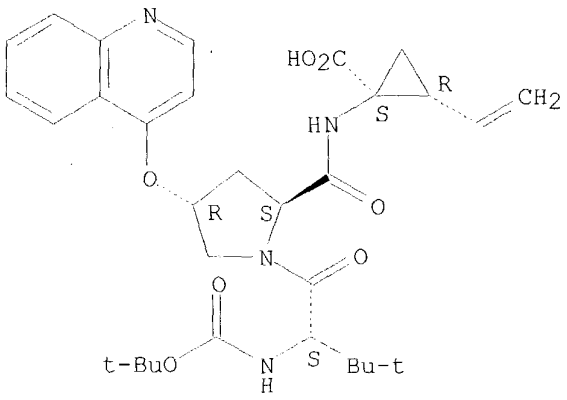
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:16975

L15 ANSWER 12 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 607403-39-4 REGISTRY
CN Cyclopropanecarboxylic acid, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-(4-quinolinyloxy)-L-prolyl-1-amino-2-ethenyl-, (1S,2R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H40 N4 O7
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

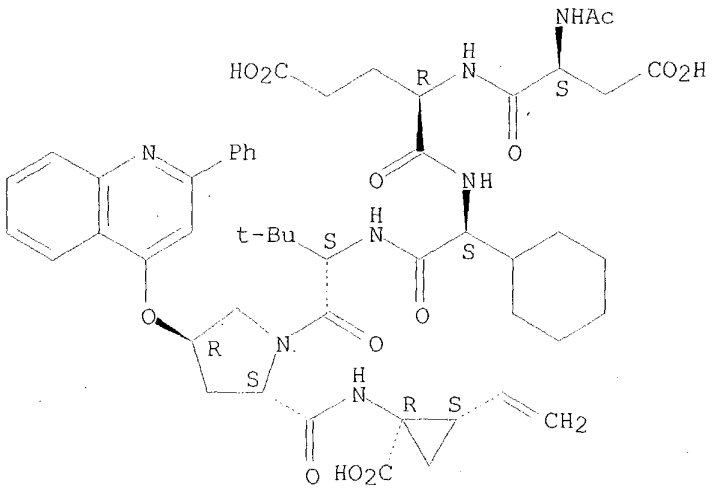
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:292471

L15 ANSWER 13 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 579472-70-1 REGISTRY
CN Cyclopropanecarboxylic acid, N-acetyl-L-.alpha.-aspartyl-D-.alpha.-glutamyl-(2S)-2-cyclohexylglycyl-3-methyl-L-valyl-(4R)-4-[(2-phenyl-4-quinolinyl)oxy]-L-prolyl-1-amino-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C51 H63 N7 O13
SR CA
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



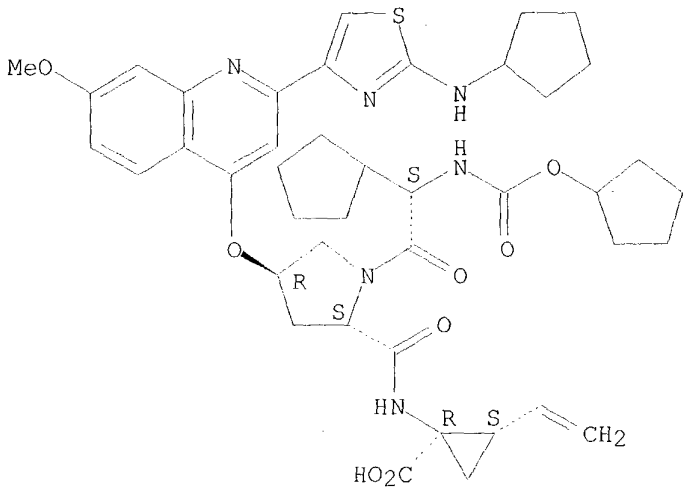
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:173244

L15 ANSWER 14 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 572925-06-5 REGISTRY
CN Cyclopropanecarboxylic acid, (2S)-2-cyclopentyl-N-[(cyclopentyloxy)carbonyl]glycyl-(4R)-4-[[2-[2-(cyclopentylamino)-4-thiazolyl]-7-methoxy-4-quinolinyl]oxy]-L-prolyl-1-amino-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C42 H52 N6 O8 S
CI COM
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:246223

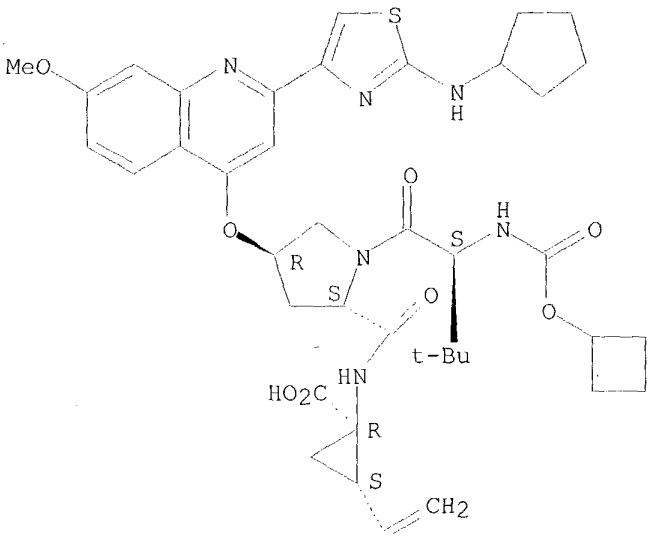
REFERENCE 2: 139:164980

L15 ANSWER 21 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 572924-99-3 REGISTRY
CN Cyclopropanecarboxylic acid, N-[(cyclobutyloxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[[2-[2-(cyclopentylamino)-4-thiazolyl]-7-methoxy-4-quinolinyl]oxy]-L-prolyl-1-amino-2-ethenyl-, (1R,2S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C40 H50 N6 O8 S . C2 H F3 O2
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

CM 1

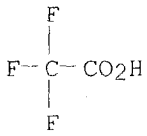
CRN 572924-98-2
CMF C40 H50 N6 O8 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



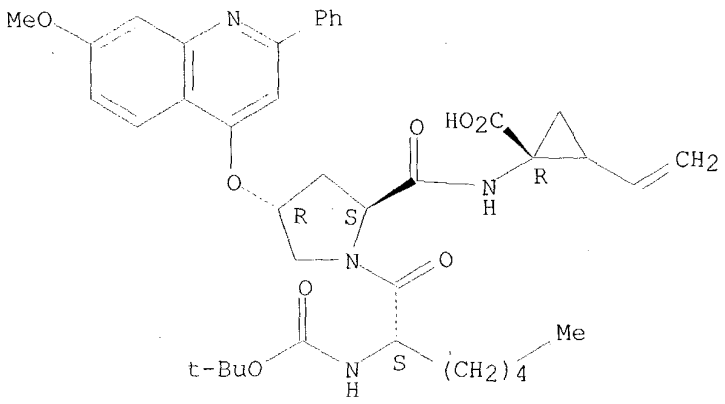
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:246223

REFERENCE 2: 139:164980

L15 ANSWER 51 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 572918-60-6 REGISTRY
CN Cyclopropanecarboxylic acid, 1-[[[(2S,4R)-1-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxoheptyl]-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-2-pyrrolidinyl]carbonyl]amino]-2-ethenyl-, (1R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C39 H48 N4 O8
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



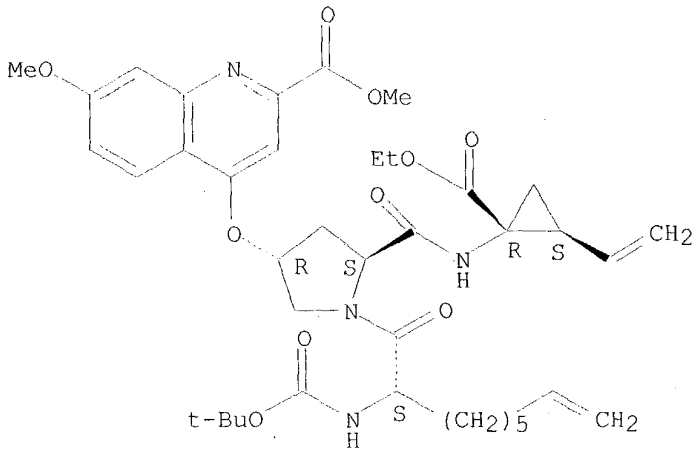
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:143358

L15 ANSWER 53 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 552335-61-2 REGISTRY
CN 2-Quinolinecarboxylic acid, 4-[[(3R,5S)-1-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-8-nonenyl]-5-[[[(1R,2S)-2-ethenyl-1-(ethoxycarbonyl)cyclopropyl]amino]carbonyl]-3-pyrrolidinyl]oxy]-7-methoxy-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C39 H52 N4 O10
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



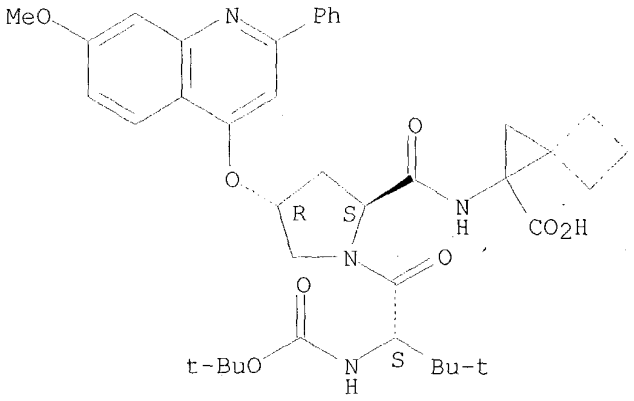
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:69527

L15 ANSWER 60 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 445306-43-4 REGISTRY
CN Spiro[2.3]hexane-1-carboxylic acid, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-1-amino- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C39 H48 N4 O8
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



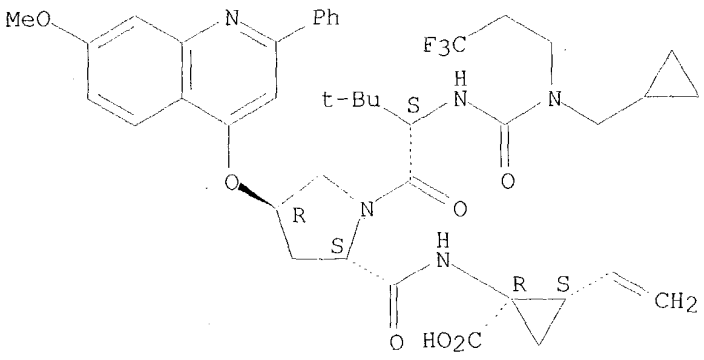
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:155180

L15 ANSWER 64 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 445305-99-7 REGISTRY
CN Cyclopropanecarboxylic acid, N-[[(cyclopropylmethyl)(3,3,3-trifluoropropyl)amino]carbonyl]-3-methyl-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-1-amino-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C41 H48 F3 N5 O7
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

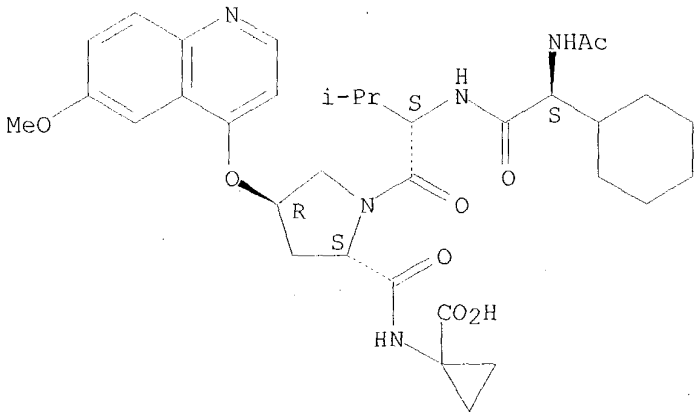
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:155180

L15 ANSWER 72 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 357293-17-5 REGISTRY
CN Cyclopropanecarboxylic acid, (2S)-N-acetyl-2-cyclohexylglycyl-L-valyl-(4R)-4-[(6-methoxy-4-quinolinyl)oxy]-L-prolyl-1-amino- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C34 H45 N5 O8
SR CA
LC STN Files: CA, CAPLUS, CASREACT

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

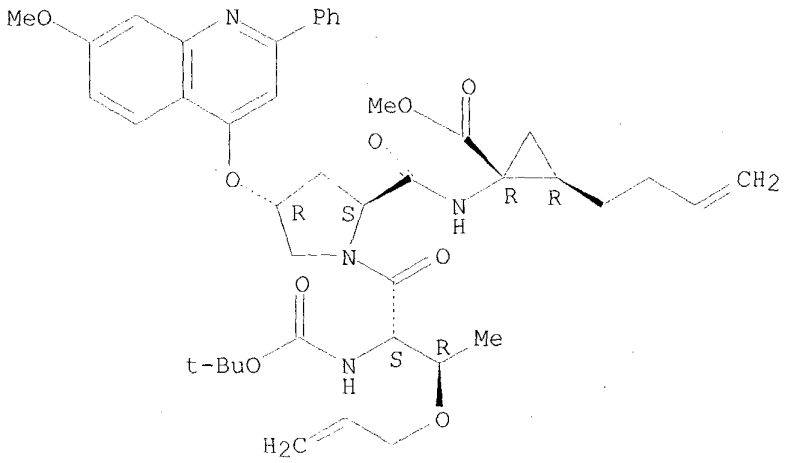
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:195782

L15 ANSWER 80 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN

RN 300831-65-6 REGISTRY
CN Cyclopropanecarboxylic acid, N-[(1,1-dimethylethoxy)carbonyl]-O-2-propenyl-L-threonyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-1-amino-2-(3-butenyl)-, methyl ester, (1R,2R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C42 H52 N4 O9
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:197768

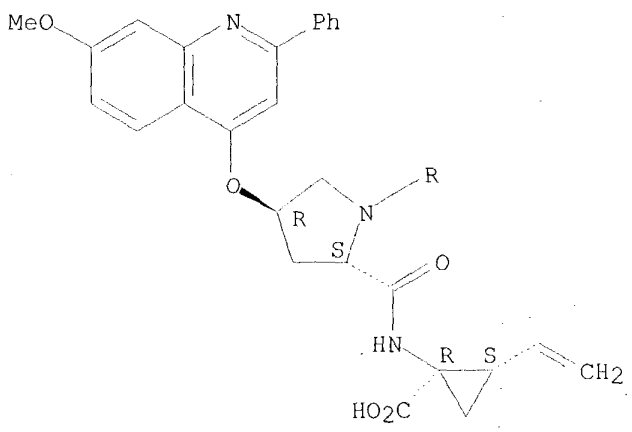
REFERENCE 2: 133:296659

L15 ANSWER 88 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 259221-63-1 REGISTRY
CN Cyclopropanecarboxylic acid, (2S)-2-cyclohexyl-N-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]glycyl-3-methyl-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-1-amino-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C53 H62 N6 O9 S
SR CA
LC STN Files: CA, CAPLUS

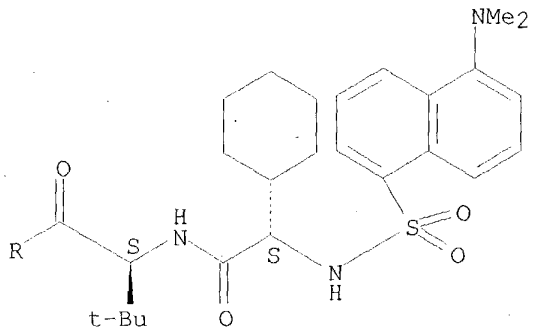
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

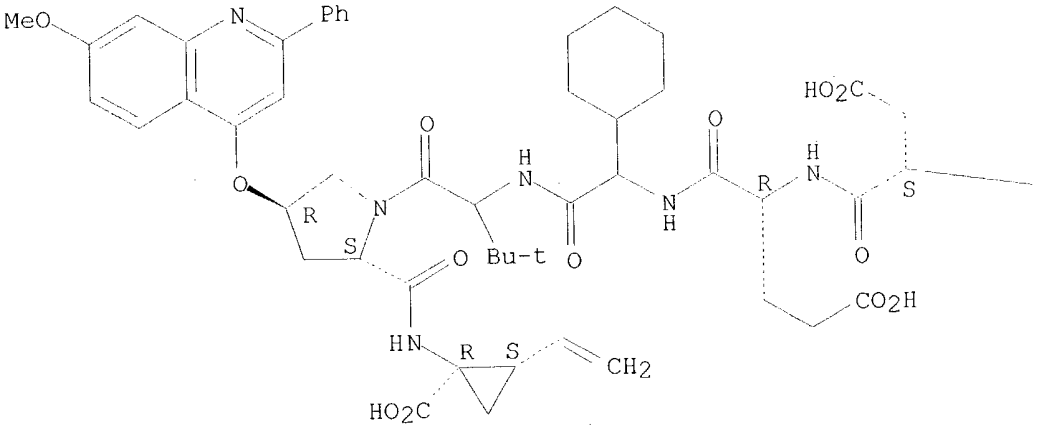
REFERENCE 1: 132:175808

L15 ANSWER 100 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 259221-51-7 REGISTRY
CN Cyclopropanecarboxylic acid, N-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-.alpha.-aspartyl-D-.alpha.-glutamyl-2-cyclohexylglycyl-3-methylvalyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-1-amino-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C62 H74 N8 O15 S
SR CA
LC STN Files: CA, CAPLUS

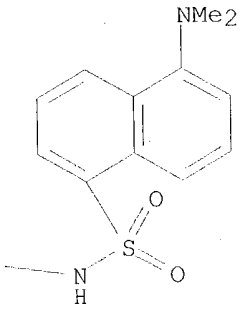
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

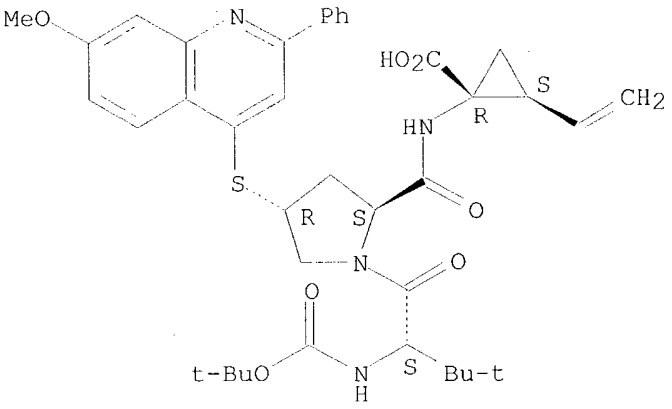


1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:175808

L15 ANSWER 134 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 259217-05-5 REGISTRY
CN Cyclopropanecarboxylic acid, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)thio]-L-prolyl-1-amino-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C38 H46 N4 O7 S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



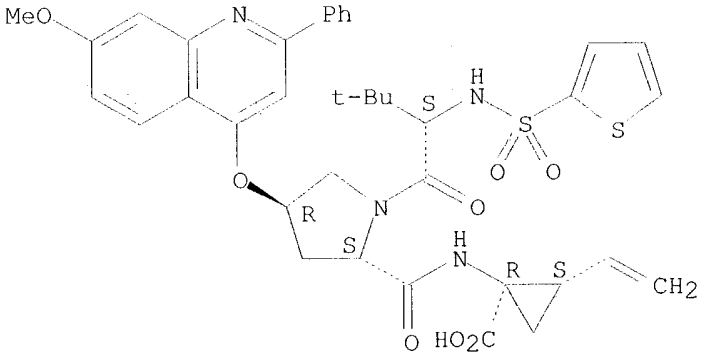
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:180871

L15 ANSWER 140 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 259216-99-4 REGISTRY
CN Cyclopropanecarboxylic acid, 3-methyl-N-(2-thienylsulfonyl)-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-1-amino-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C37 H40 N4 O8 S2
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

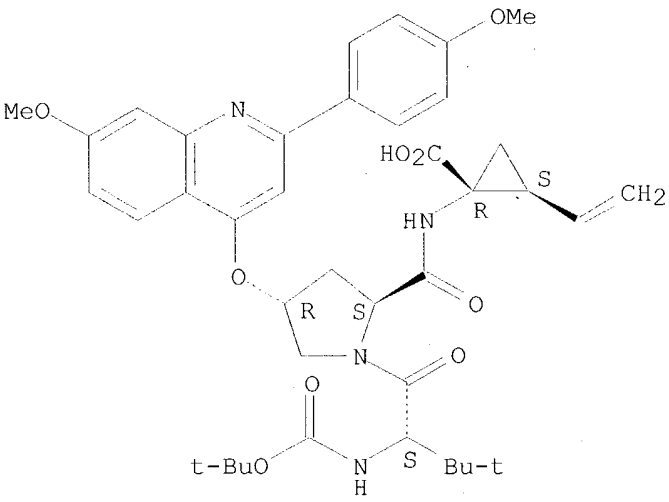
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:180871

L15 ANSWER 200 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 259216-39-2 REGISTRY

CN Cyclopropanecarboxylic acid, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[[7-methoxy-2-(4-methoxyphenyl)-4-quinolinyl]oxy]-L-prolyl-1-amino-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C39 H48 N4 O9
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



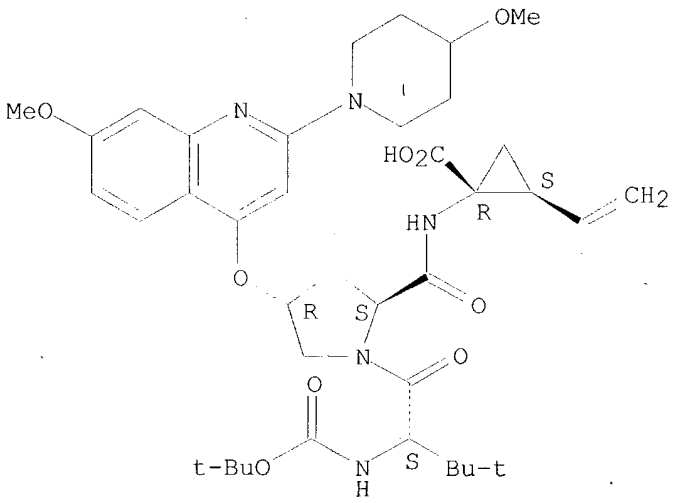
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:180871

L15 ANSWER 240 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 259215-99-1 REGISTRY
CN Cyclopropanecarboxylic acid, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[[7-methoxy-2-(4-methoxy-1-piperidinyl)-4-quinolinyl]oxy]-L-prolyl-1-amino-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C38 H53 N5 O9
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



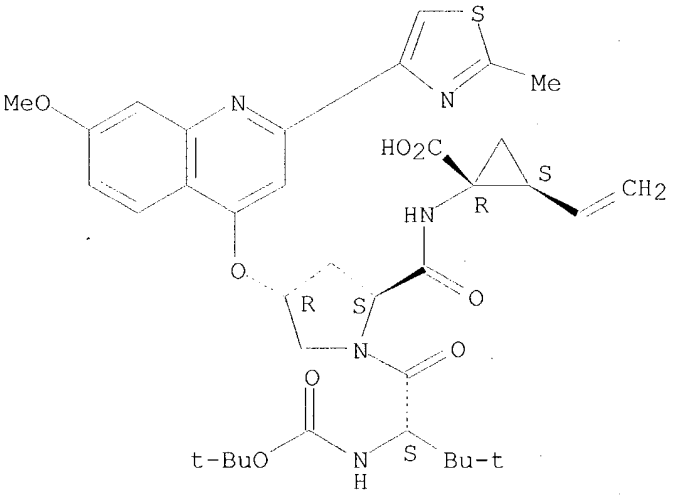
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:180871

L15 ANSWER 250 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 259215-89-9 REGISTRY
CN Cyclopropanecarboxylic acid, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[[7-methoxy-2-(2-methyl-4-thiazolyl)-4-quinolinyl]oxy]-L-prolyl-1-amino-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C36 H45 N5 O8 S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



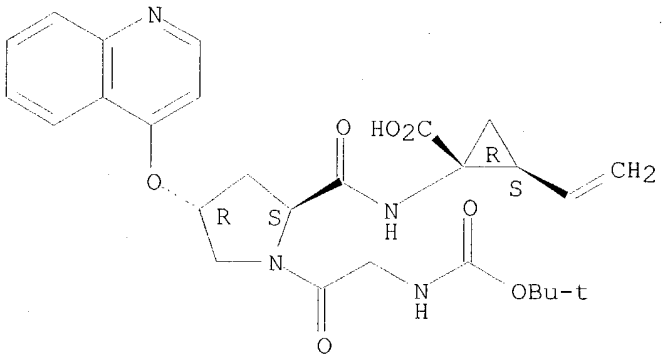
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:180871

L15 ANSWER 300 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 259215-39-9 REGISTRY
CN Cyclopropanecarboxylic acid, N-[(1,1-dimethylethoxy)carbonyl]glycyl-(4R)-4-(4-quinolinyloxy)-L-prolyl-1-amino-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C27 H32 N4 O7
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



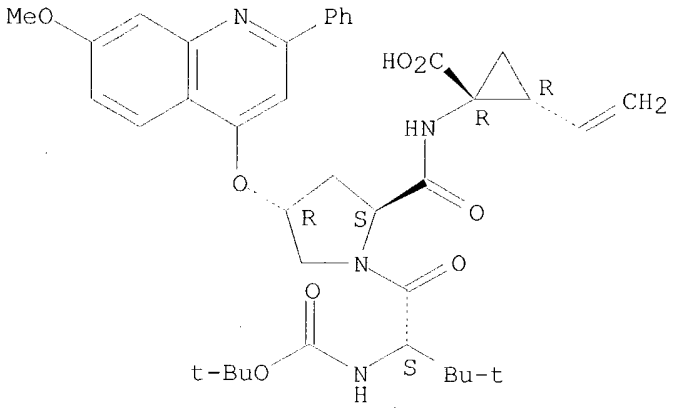
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:180871

L15 ANSWER 326 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 259214-97-6 REGISTRY
CN Cyclopropanecarboxylic acid, N-[(1,1-dimethylethoxy)carbonyl]-3-methyl-L-valyl-(4R)-4-[(7-methoxy-2-phenyl-4-quinolinyl)oxy]-L-prolyl-1-amino-2-ethenyl-, (1R,2R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C38 H46 N4 O8
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

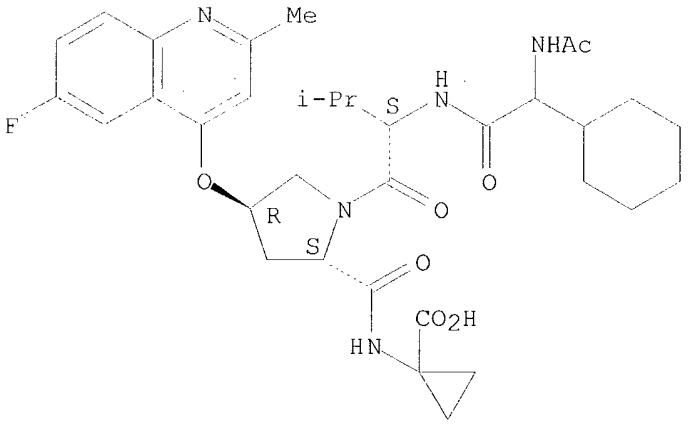
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:180871

L15 ANSWER 337 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN
RN 220426-07-3 REGISTRY
CN Cyclopropanecarboxylic acid, N-acetyl-2-cyclohexylglycyl-L-valyl-(4R)-4-[(6-fluoro-2-methyl-4-quinolinyl)oxy]-L-prolyl-1-amino- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C34 H44 F N5 O7
SR CA
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

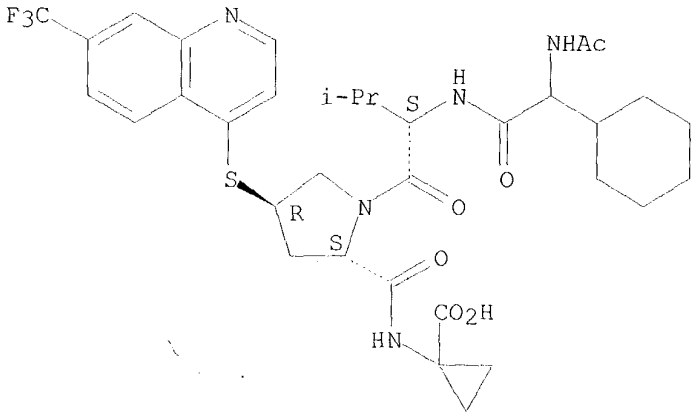
REFERENCE 1: 130:168665

L15 ANSWER 341 OF 341 REGISTRY COPYRIGHT 2004 ACS on STN

RN 220425-88-7 REGISTRY
CN Cyclopropanecarboxylic acid, N-acetyl-2-cyclohexylglycyl-L-valyl-(4R)-4-[[7-(trifluoromethyl)-4-quinolinyl]thio]-L-prolyl-1-amino- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C34 H42 F3 N5 O6 S
SR CA
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:168665